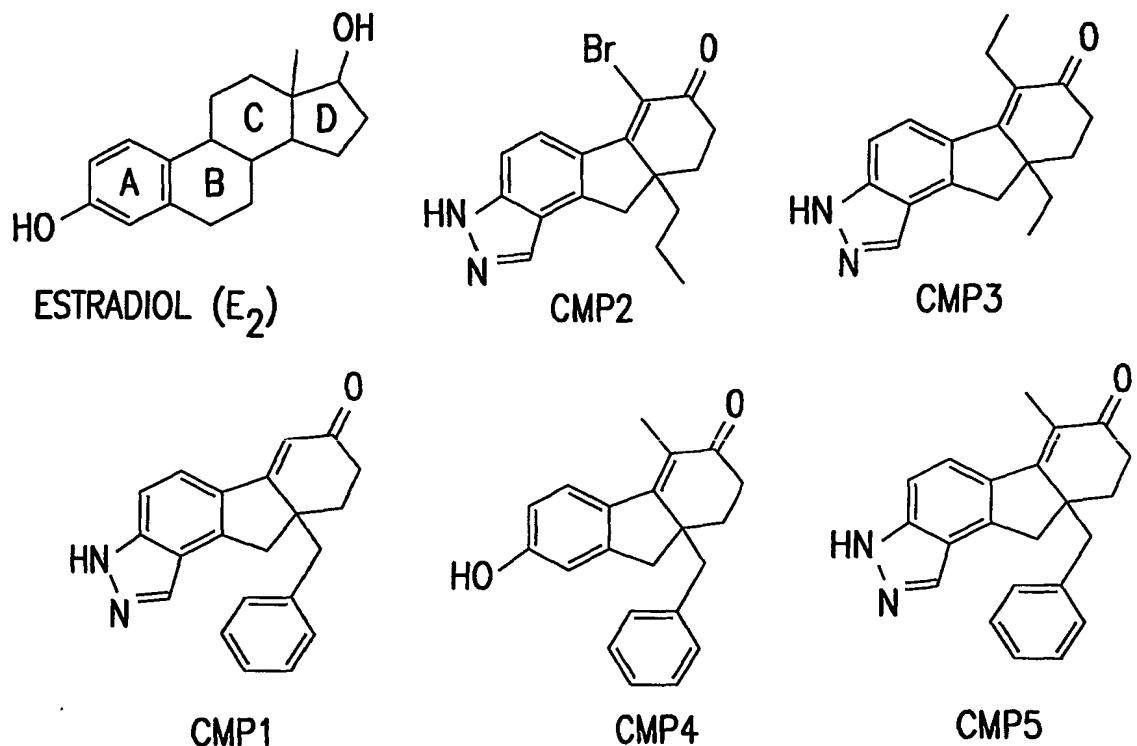


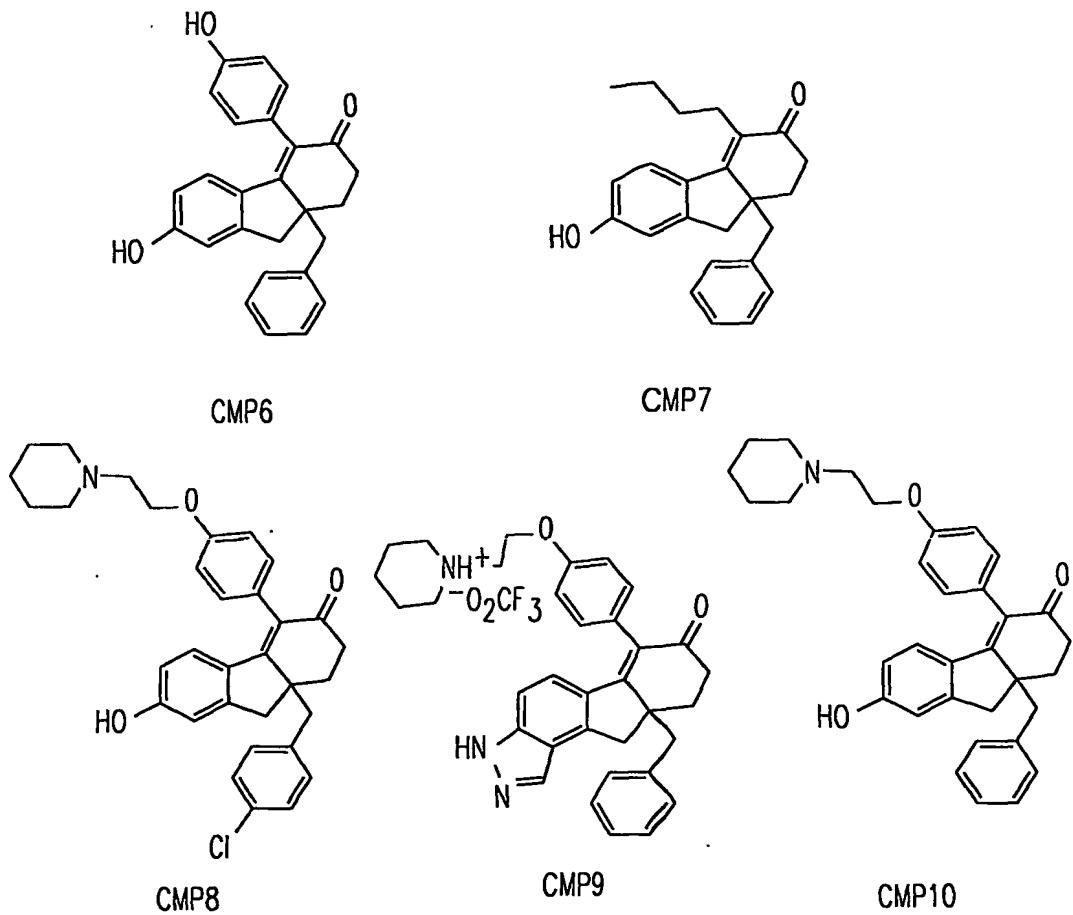
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COMPOUNDS	BINDING (IC50, nM)					
	hER α wt	hER β wt	GST- ER α wt-LBD	GST- ER α LBD L(384)M	GST- ER α LBD L(384)M/ M(421)I	GST- ER α LBD L(384)M/ M(421)G
E ₂	1.6	1.4	2.3	5	0.7	46
CMP2	676	6	800	48	42	ND
CMP3	223	4	780	45	68	ND
CMP1	>10000	>10000	>10000	>10000	ND	3900
CMP4	1470	506	2320	1480	ND	12
CMP5	>10000	1247	>10000	>10000	ND	155

FIG. 1A

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	BINDING (IC ₅₀ (nM))				SELECTIVITY		
	GST-ER MG-LBD	GST-ER α wt-LBD	hER α	hER α	wt-LBD/ MG-LBD	hER α / MG-LBD	hER β / MG-LBD
CMP6	29	1371	223	96	47	7.7	3.3
CMP7	25	1306	259	307	52	10.4	12.3
CMP8	29	4436	1085	2232	153	37.4	77
CMP9	107	1126	622	125	11	5.8	1.2
CMP10	22	1272	375	184	58	17	8.4

FIG. 1B

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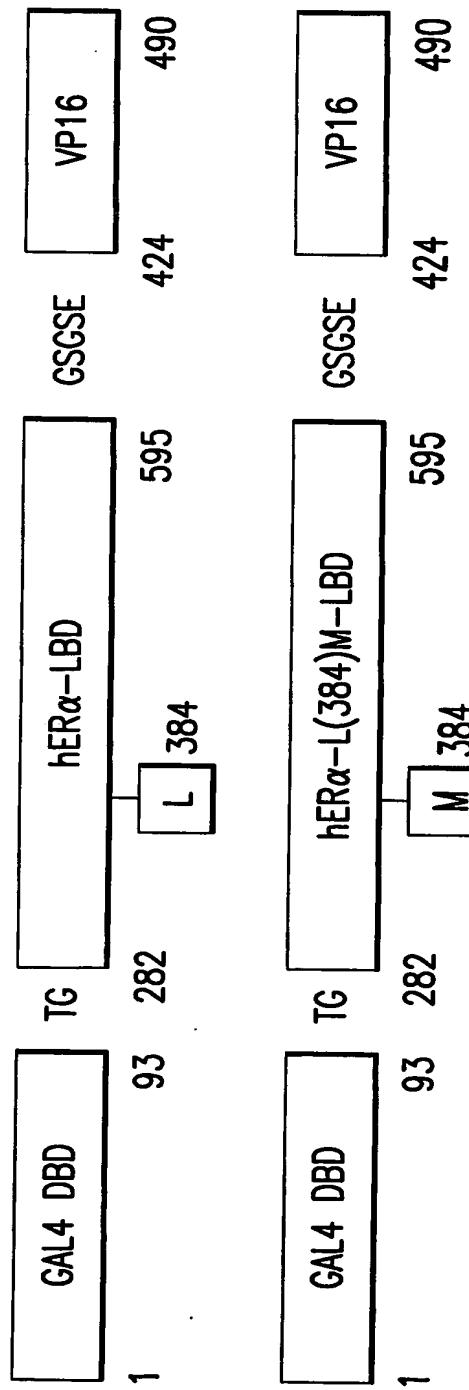


FIG. 2A

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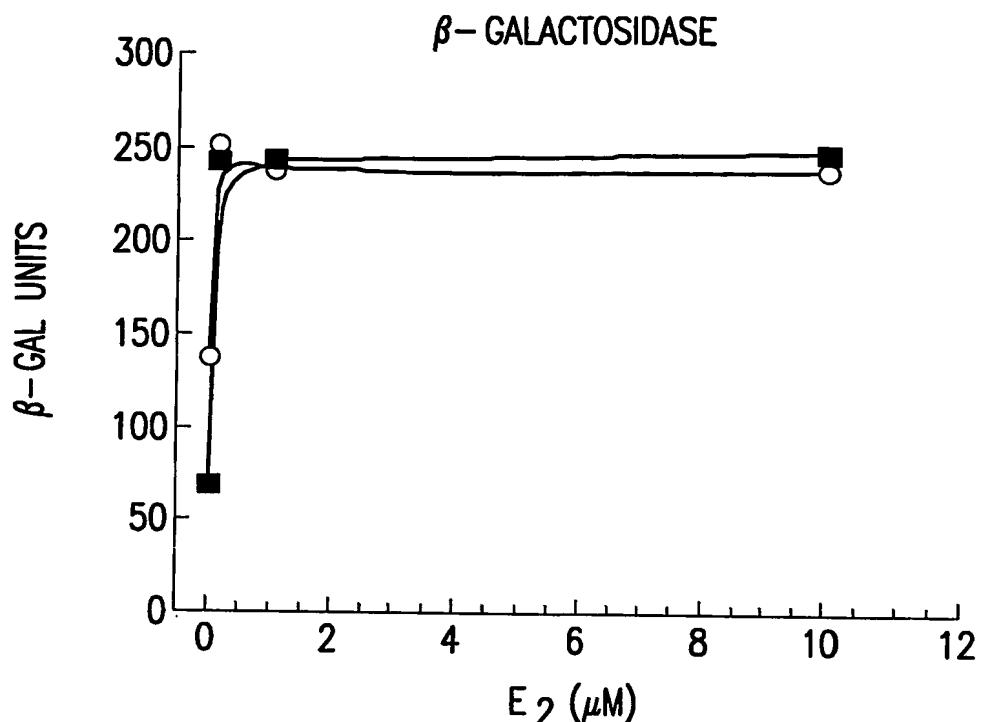


FIG. 2B

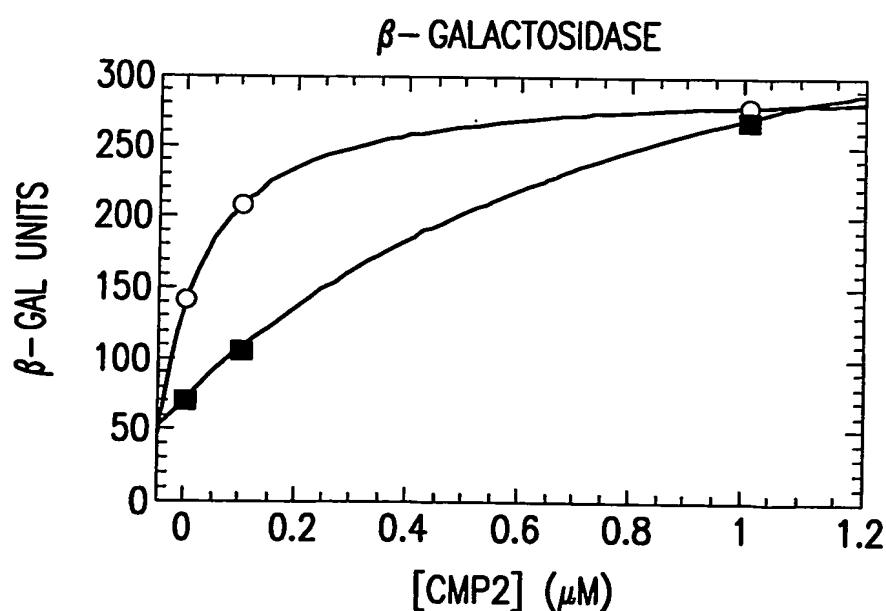


FIG. 2C

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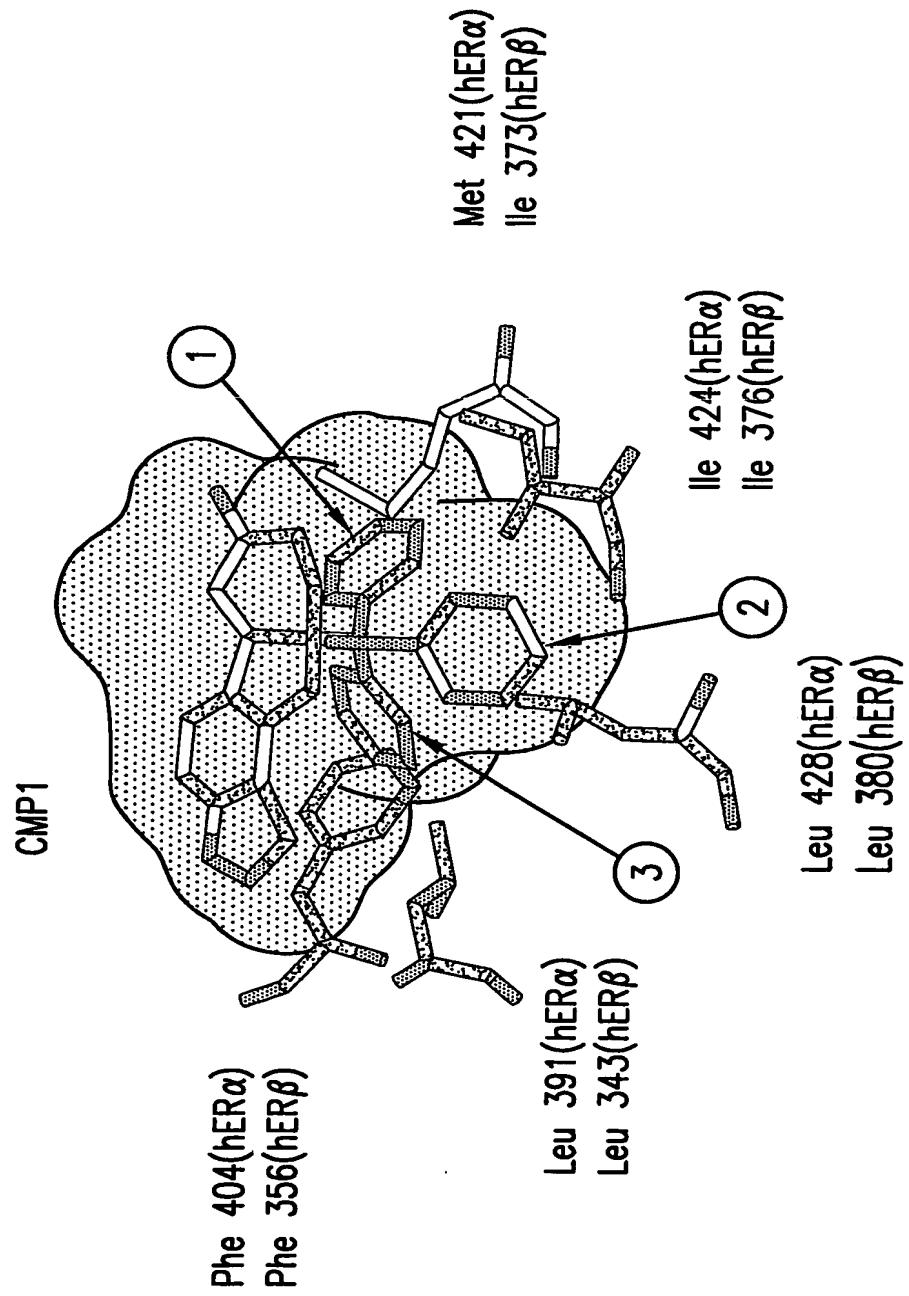
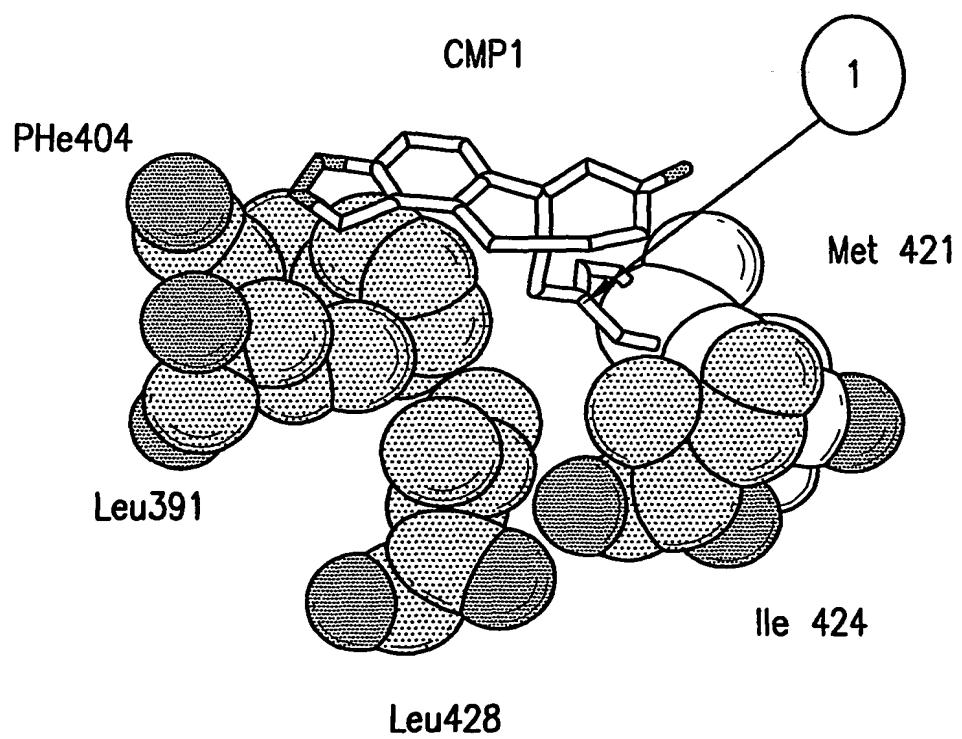


FIG. 3

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#CLONES	L391	F404	M421	I424	L428	SEQ ID NO
5	L	F	G	I	L	3
2	L	W	G	I	L	4
9	L	F	G	M	L	5
2	L	W	G	M	L	6
1	M	W	G	M	L	7
11	L	F	G	V	L	8
2	L	W	G	V	L	9
1	I	F	G	V	L	10
5	L	F	G	L	L	11
3	L	W	G	L	L	12
1	V	W	G	L	L	13
1	L	W	A	L	L	14
1	V	W	A	L	L	15



Leu428

FIG.4

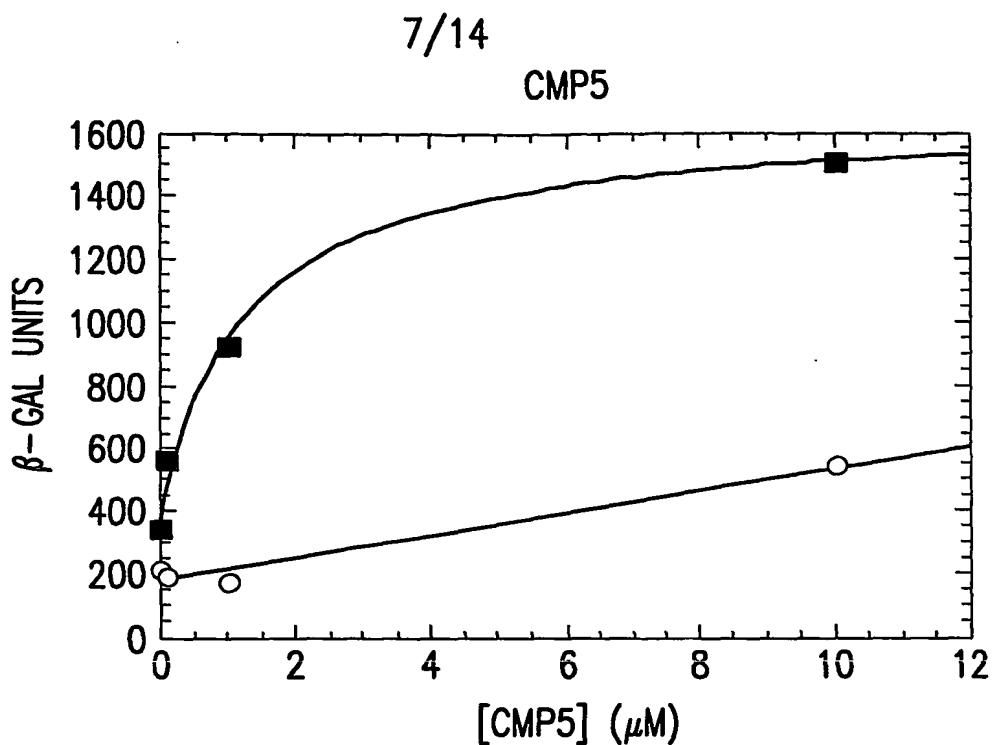


FIG.5A

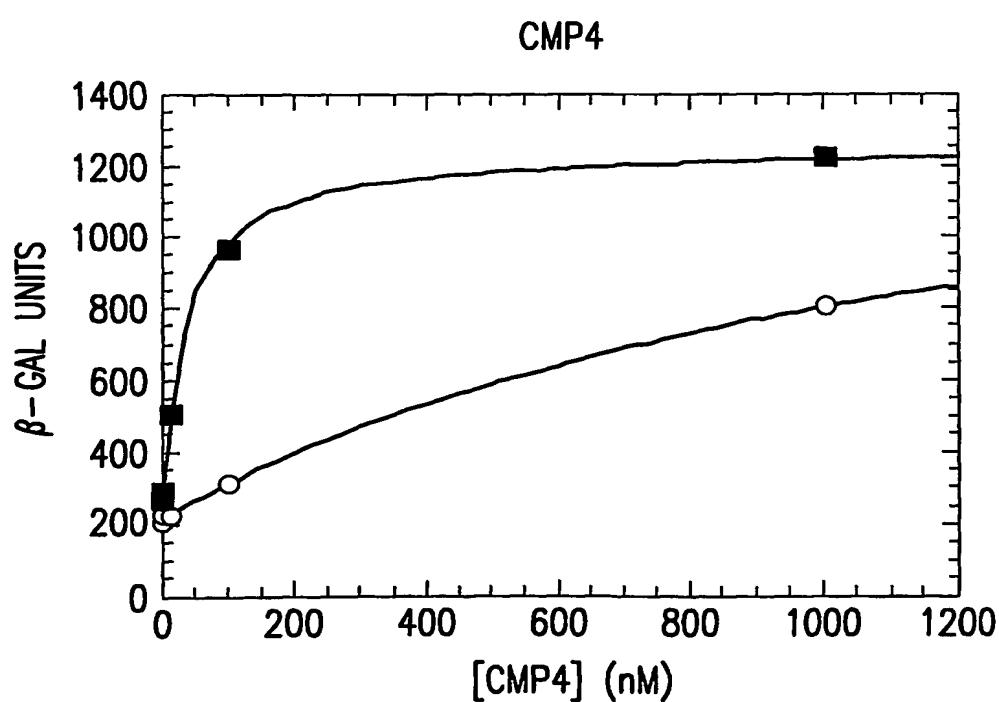


FIG.5B

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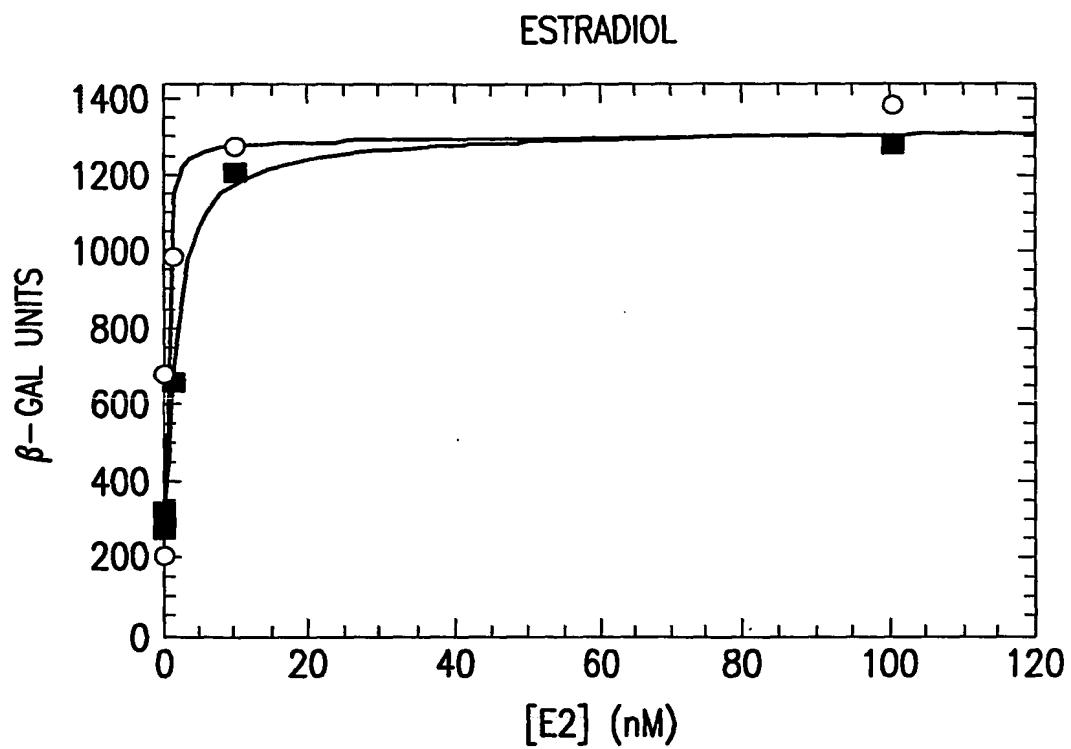


FIG. 5C

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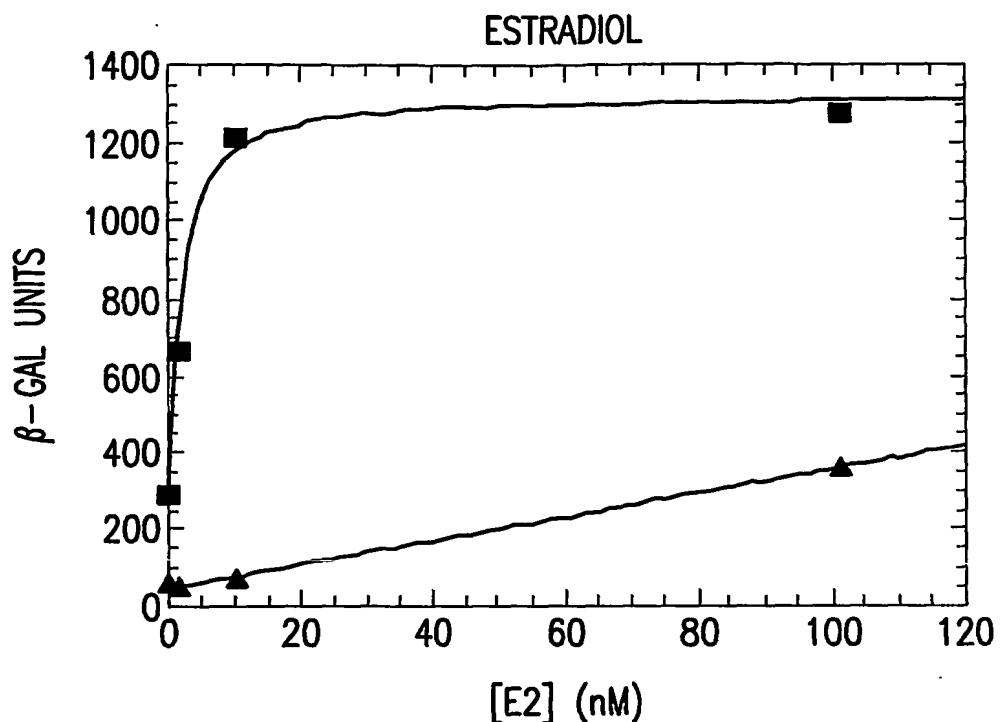


FIG. 6A

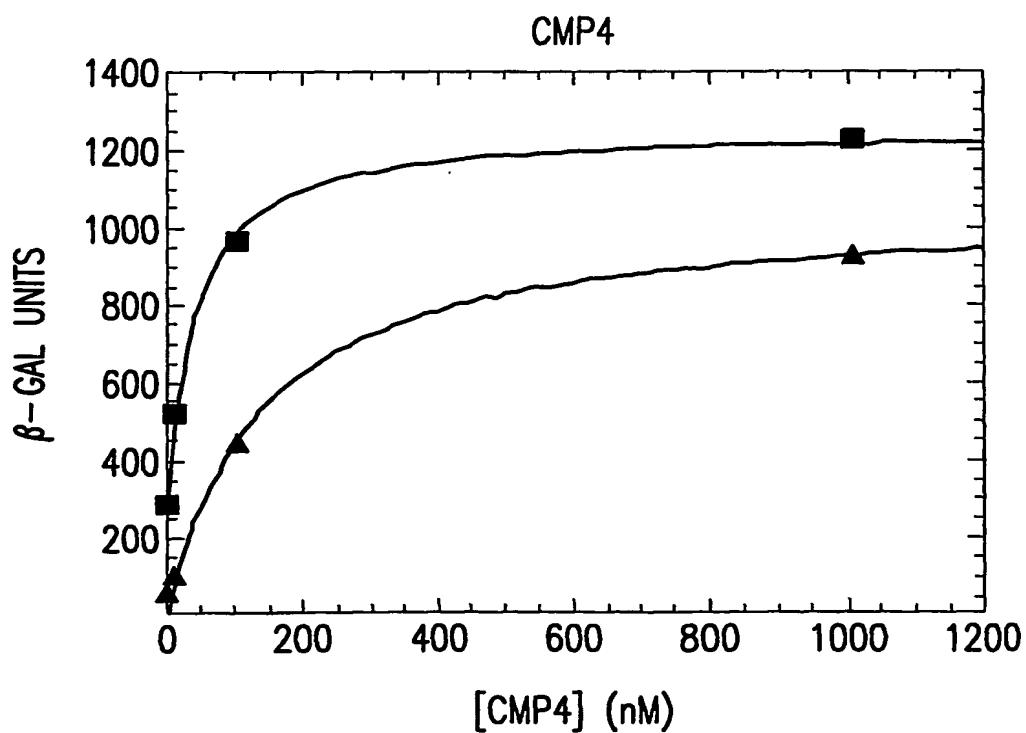


FIG. 6B

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[CMP4] (nM)	+D(351)A		-D(351)A	
	β -GAL UNITS	FOLD- INDUCTION	β -GAL UNITS	FOLD- INDUCTION
0	9	-	126.3	-
10	18.9	2.1	311.3	2.5
100	361.8	40.2	1,285.5	10.2
1,000	1,251.8	139	1,612.4	12.8
2,000	1,329.7	147.7	1,640.7	13

FIG. 7A

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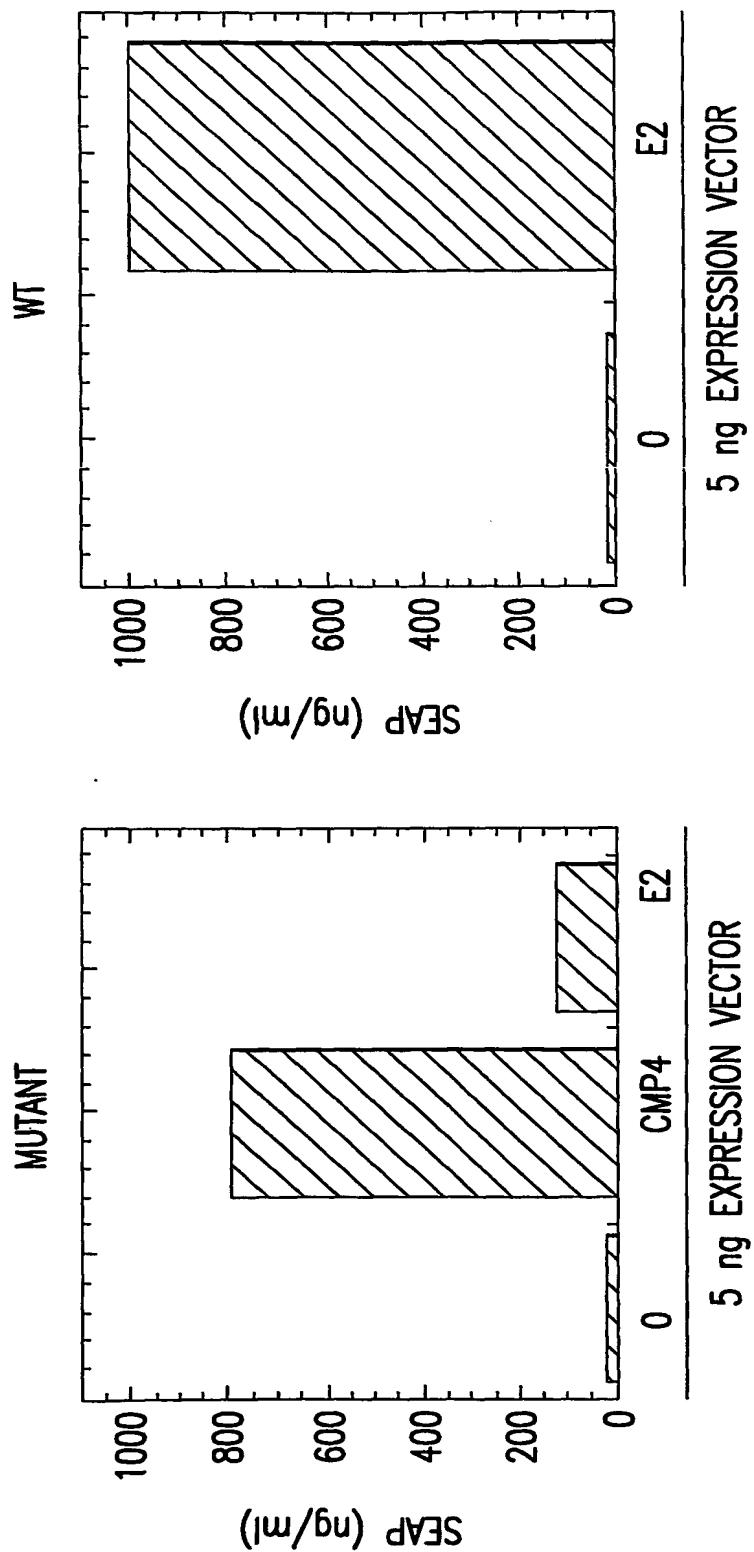


FIG. 7B

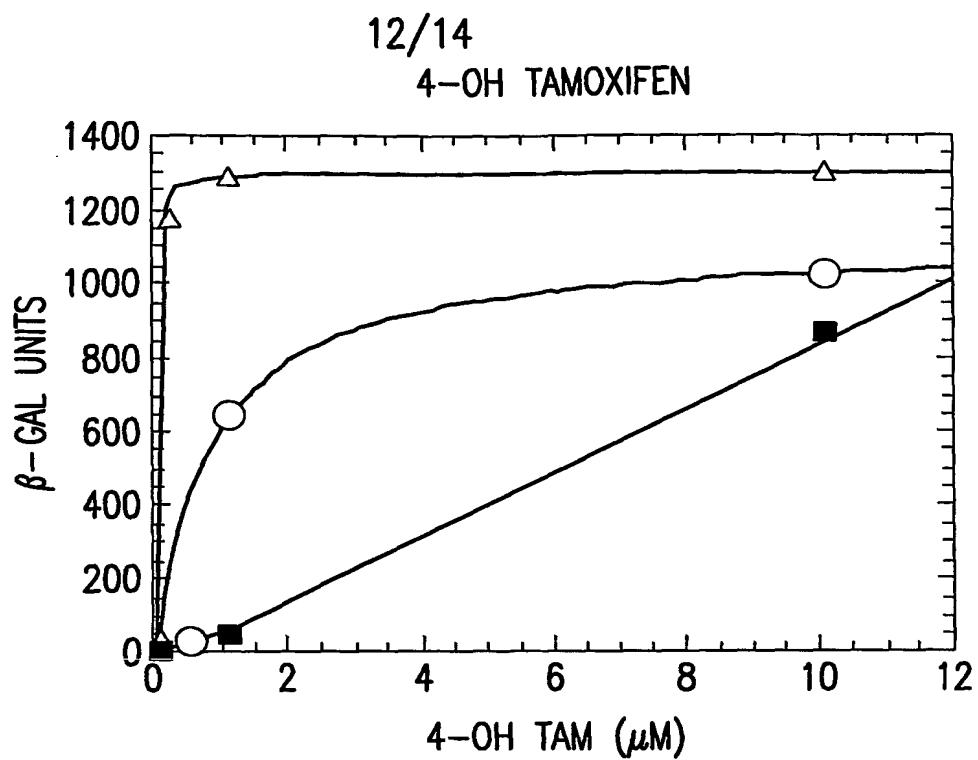


FIG.8A

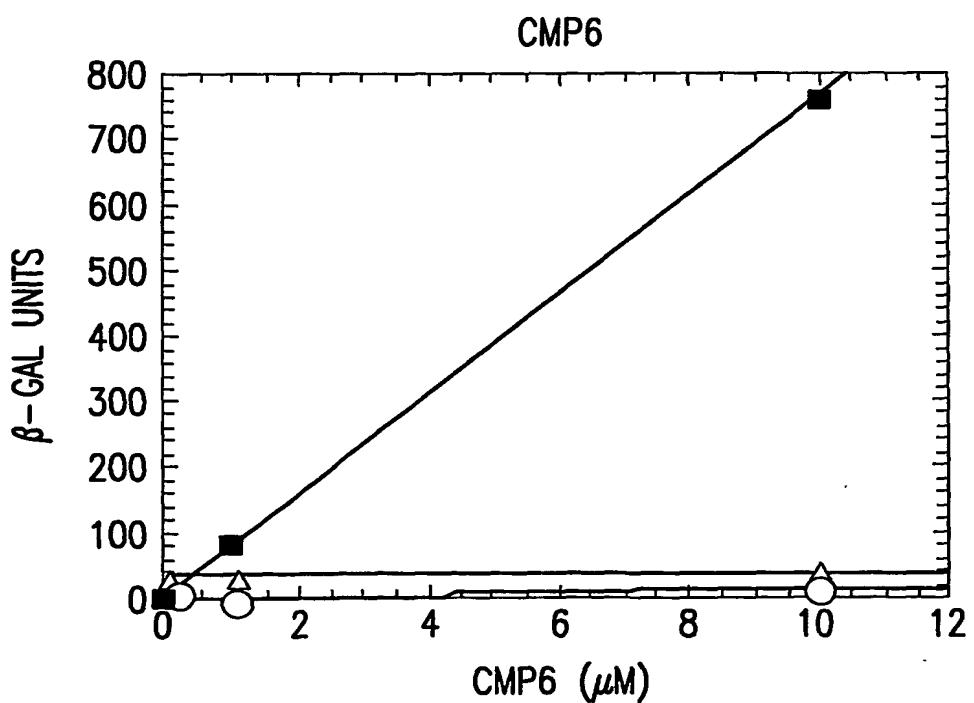


FIG.8B

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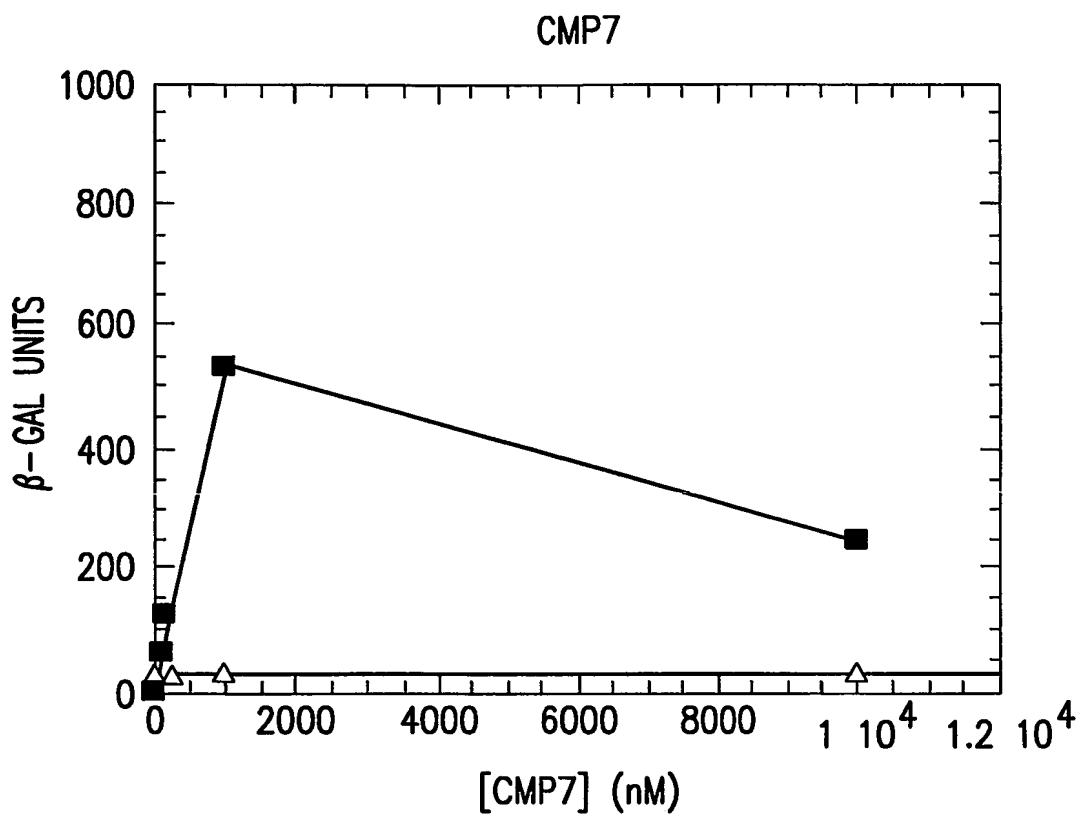


FIG.8C

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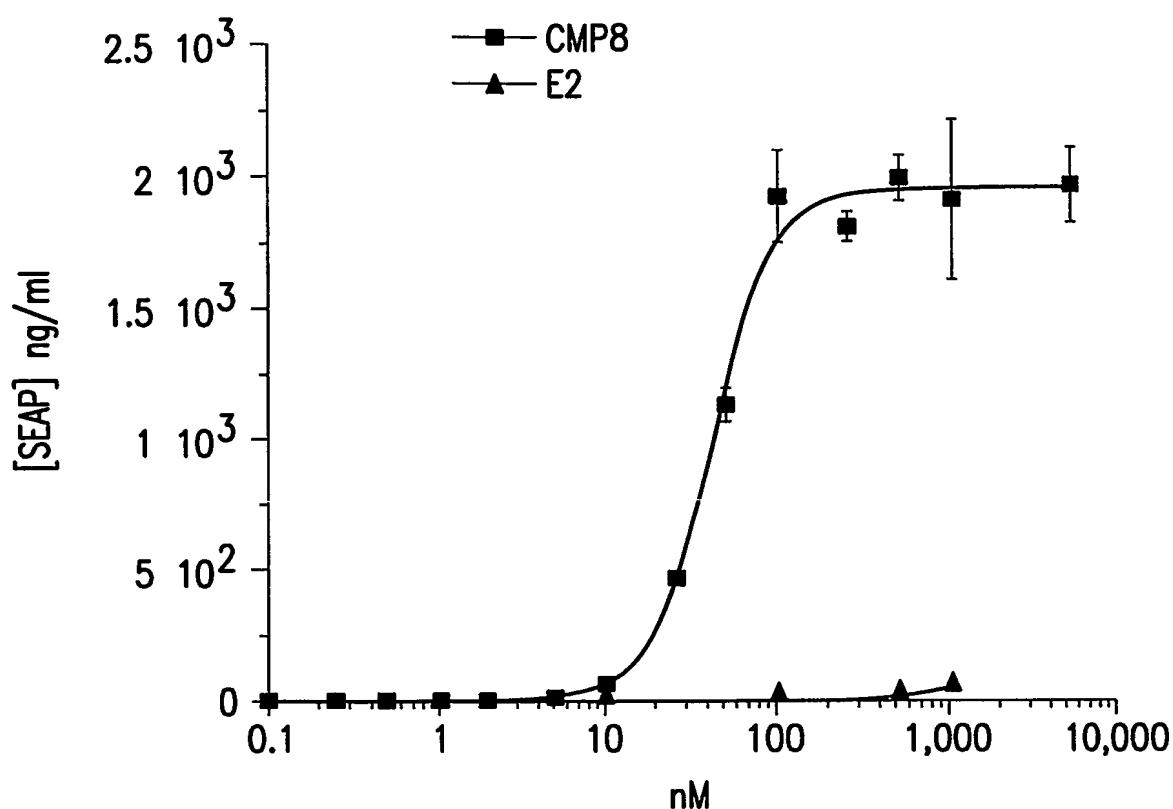


FIG.9A

COMPOUND	EC ₅₀ (nM)
CMP6	11 (+3)
CMP8	41 (+2)
CMP9	294 (+40)
CMP10	14 (+2)

FIG.9B